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d his

     (FILE 'HOME' ENTERED AT 13:25:01 ON 19 JUN 2003)

     FILE 'REGISTRY' ENTERED AT 13:25:06 ON 19 JUN 2003
L1             STRUC
L2              12 S L1
L3             164 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 13:27:34 ON 19 JUN 2003
L4              36 S L3
L5              19 S L4 AND PY<1999
L6               5 S L4 AND PY=1999

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     134.97     284.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -18.23     -18.23

FILE 'REGISTRY' ENTERED AT 13:40:20 ON 19 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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provided by InfoChem.

STRUCTURE FILE UPDATES:   17 JUN 2003  HIGHEST RN 532924-24-6
DICTIONARY FILE UPDATES:  17 JUN 2003  HIGHEST RN 532924-24-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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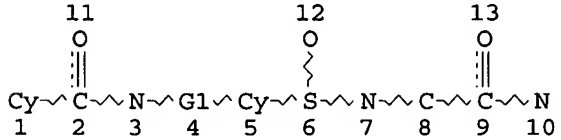


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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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                (WO2001023379/PN)

=> d bib

L1   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2003 ACS
AN   2001:246563  CAPLUS
DN   134:266198
TI   Preparation of N-arylsulfonyl amino acid derivatives as c-Jun N-terminal
     kinase inhibitors
IN   Arkinstall, Stephen
PA   Applied Research Systems ARS Holding N.V., Neth. Antilles
SO   Eur. Pat. Appl., 29 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1218375         A1   20020703       EP 2000-960922   20000928
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PRAI EP 1999-810871     A    19990928
     WO 2000-IB1382     W    20000928
OS   MARPAT 134:266198
RE.CNT  15    THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


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ENTER ANSWER NUMBER OR RANGE (1-):1
ENTER DISPLAY CODE (TI) OR ?:rn
L2          ANALYZE L1 1 RN :      17 TERMS

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   12.85      13.06

FILE 'REGISTRY' ENTERED AT 15:37:35 ON 19 JUN 2003
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provided by InfoChem.
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STRUCTURE FILE UPDATES: 18 JUN 2003 HIGHEST RN 533863-98-8
DICTIONARY FILE UPDATES: 18 JUN 2003 HIGHEST RN 533863-98-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

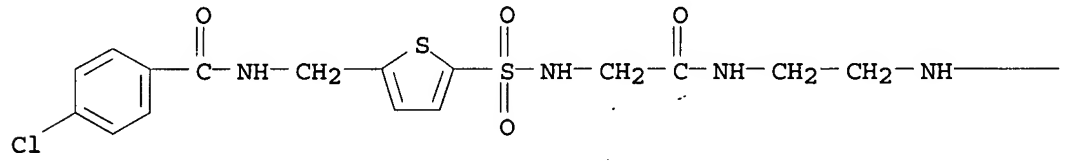
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s l2
L3 17 L2

=> d scan

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Benzamide, 4-chloro-N-[[5-[[[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-2-oxoethyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI)
MF C21 H21 Cl N6 O6 S2

PAGE 1-A



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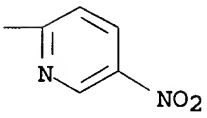

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):16

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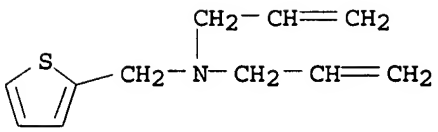
MF C11 H15 N S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
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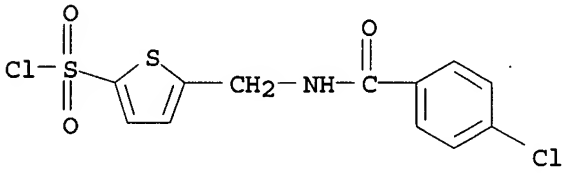
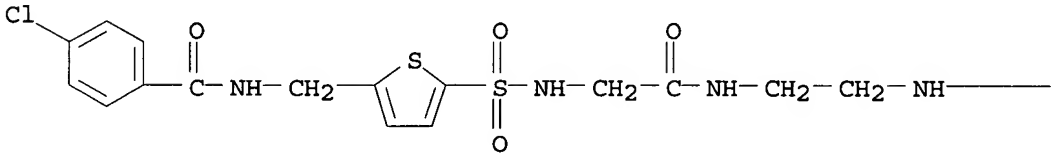
MF C12 H9 Cl2 N O3 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Benzamide, 4-chloro-N-[[5-[[[2-oxo-2-[[2-[[3-(trifluoromethyl)-2-pyridinyl]amino]ethyl]amino]ethyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI)
MF C22 H21 Cl F3 N5 O4 S2

PAGE 1-A



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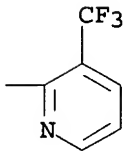

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
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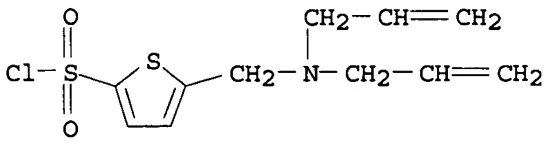
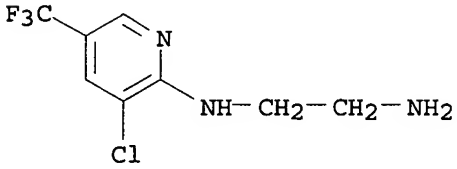


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

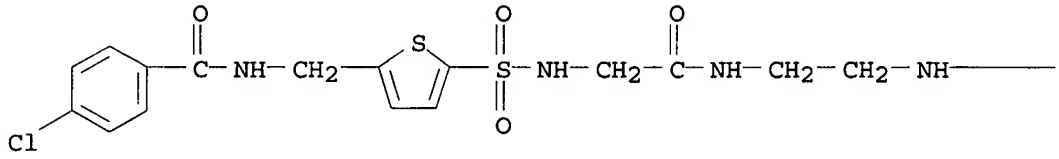
L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN 1,2-Ethanediamine, N-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]- (9CI)
MF C8 H9 Cl F3 N3
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Benzamide, 4-chloro-N-[[5-[[[2-oxo-2-[[2-[[5-(trifluoromethyl)-2-pyridinyl]amino]ethyl]amino]ethyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI)
MF C22 H21 Cl F3 N5 O4 S2

PAGE 1-A



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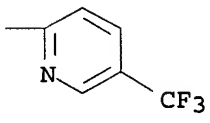

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
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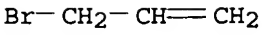
CI COM

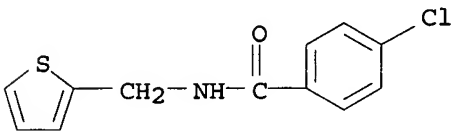


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Kinase (phosphorylating), gene c-jun protein N-terminal, 2 (9CI)
MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Benzamide, 4-chloro-N-(2-thienylmethyl)- (9CI)
MF C12 H10 Cl N O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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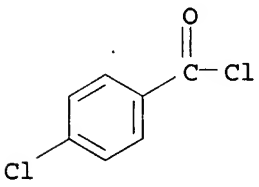
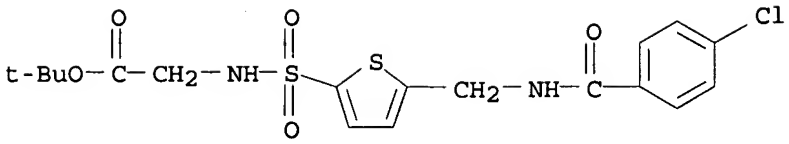


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Kinase (phosphorylating), gene c-jun protein N-terminal, 3 (9CI)
MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Glycine, N-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]-,
1,1-dimethylethyl ester (9CI)
MF C18 H21 Cl N2 O5 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
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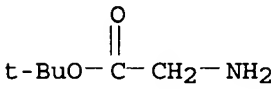
MF C6 H13 N O2 . Cl H

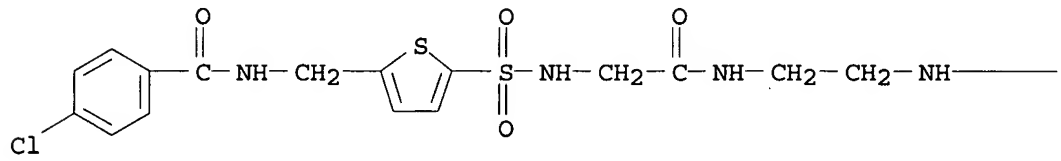


● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Benzamide, 4-chloro-N-[[5-[[[2-[[2-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]ethyl]amino]-2-oxoethyl]amino]sulfonyl]-2-thienyl]methyl]-(9CI)
MF C22 H20 Cl2 F3 N5 O4 S2

PAGE 1-A



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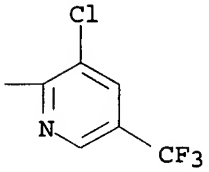

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 17 ANSWERS REGISTRY COPYRIGHT 2003 ACS

IN Glycine, N-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]- (9CI)
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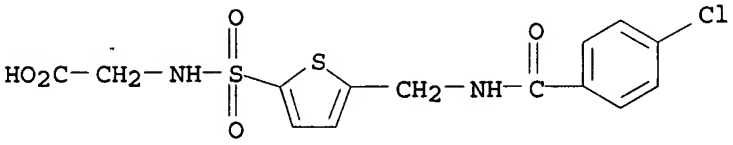

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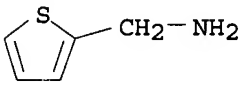
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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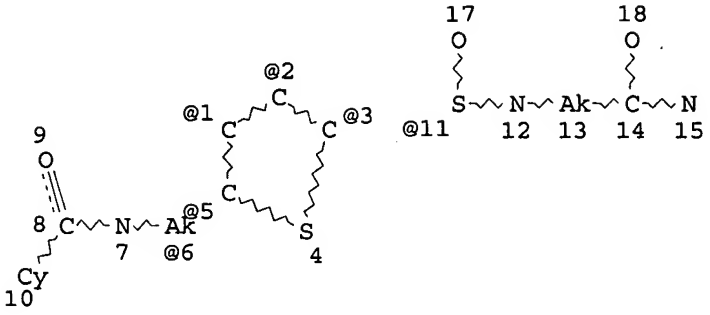

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VPA 11-2/3 U
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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FULL SCREEN SEARCH COMPLETED - 10409 TO ITERATE

100.0% PROCESSED 10409 ITERATIONS 15 ANSWERS
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L3 15 SEA SSS FUL L1

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L4            9 L3

=> d bib abs hitstr 1-9

L4   ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2003 ACS
AN   2002:521684  CAPLUS
DN   137:88483
TI   Hydrophobic polyamine analogs and methods for their use
IN   Burns, Mark Robert; Graminski, Gerard F.; Banduir, Nand
PA   Oridigm Corporation, USA
SO   PCT Int. Appl., 91 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
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             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2001-260415P   P    20010108
OS   MARPAT 137:88483
AB   The invention provides polyamine analogs and derivs. contg. a hydrophobic
     region and a polyamine region, as well as methods and compns. for their
     use.  The compds. of the invention can be used e.g. to treat cancer
     osteoporosis, asthma, etc.
IT   330162-58-8
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (hydrophobic polyamine analogs and use)
RN   330162-58-8  CAPLUS
CN   Benzamide, N-[[5-[[[(5S)-5-amino-6-[[3-[[4-[(3-
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Absolute stereochemistry.
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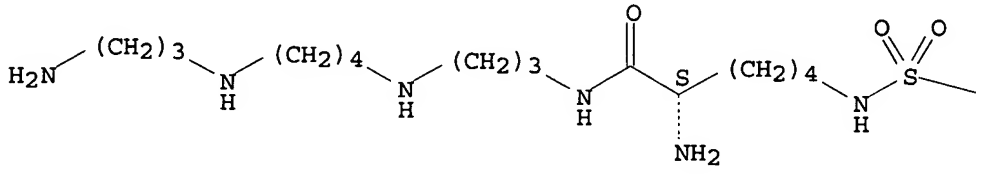

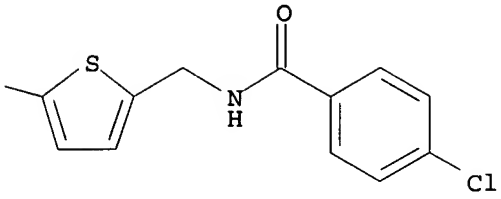

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS
AN 2001:886056 CAPLUS
DN 136:15226
TI Novel polyamine transport-inhibiting polyamine analogues as therapeutic and diagnostic agents
IN Vermeulin, Nicolaas M. J.; O'day, Christine L.; Webb, Heather K.; Burns, Mark R.; Bergstrom, Donald E.
PA Oridigm Corporation, USA
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2001092218	A3	20030327		
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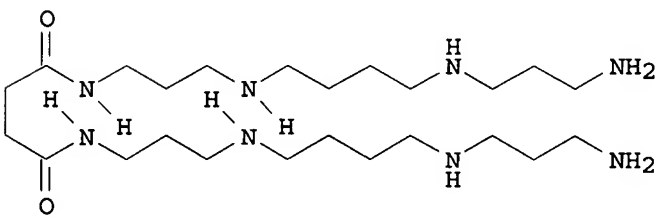
	WO 2001-US17795	W	20010531		

GI



I

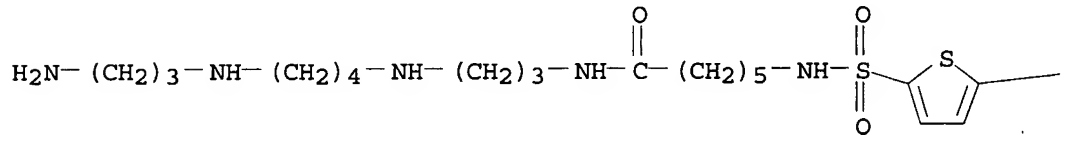
AB Novel "bispolyamine" inhibitor compds. of polyamine transport are disclosed. These compds. are useful pharmaceutical agents for treating diseases where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty

injury. These compds. display desirable activities both for diagnostic and research assays and therapy. Most of the spermine dimers that have been tested provided very good Ki for transport inhibition with values under 75 nM. ORI 1236 (I) was the most potent inhibitor with a Ki of 22 nM. The results were generally mirrored in the growth inhibition assay. All of the compds. were synergistic with difluoromethylornithine, a polyamine synthesis inhibitor, with IC50 values of 10 .mu.M or less.

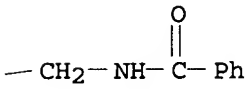
IT **220221-41-0 220221-56-7 287968-56-3 330162-48-6 330162-52-2**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel polyamine transport-inhibiting polyamine analogs as therapeutic and diagnostic agents)

RN 220221-41-0 CAPLUS
CN Benzamide, N-[[5-[[[6-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-oxohexyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

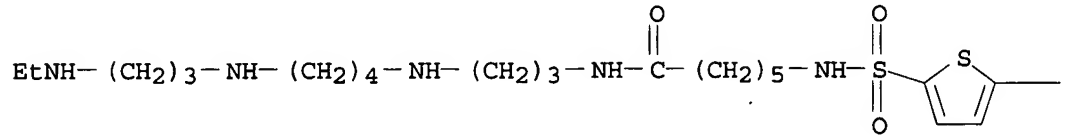


PAGE 1-B

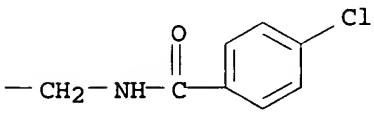


RN 220221-56-7 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[(6-oxo-7,11,16,20-tetraazadocos-1-yl)amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

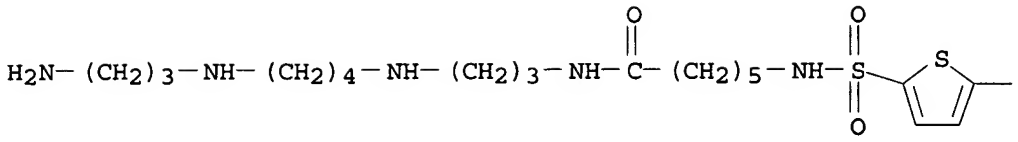


PAGE 1-B

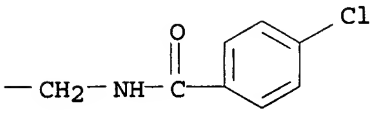


RN 287968-56-3 CAPLUS
CN Benzamide, N-[[5-[[[6-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-oxohexyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A

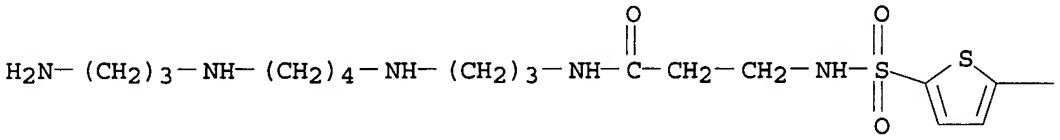


PAGE 1-B

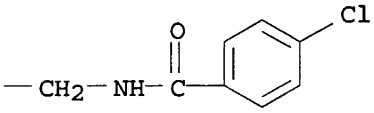


RN 330162-48-6 CAPLUS
CN Benzamide, N-[[5-[[[3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-3-oxopropyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



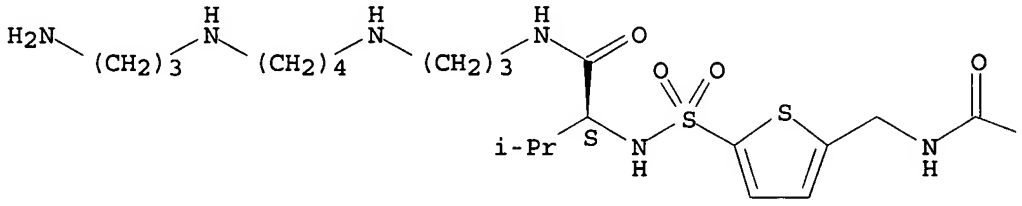
PAGE 1-B

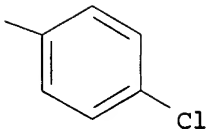


RN 330162-52-2 CAPLUS
CN Benzamide, N-[[5-[[[(1S)-1-[[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]carbonyl]-2-methylpropyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

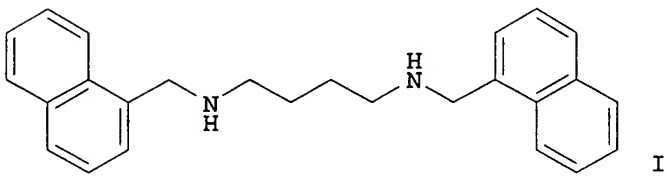




L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS
AN 2001:730681 CAPLUS
DN 135:272682
TI Polyamine analogues as cytotoxic agents
IN Burns, Mark R.
PA Oridigm Corporation, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072685	A2	20011004	WO 2001-US40360	20010323
	WO 2001072685	A3	20020718		
	WO 2001072685	C2	20021010		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1296931	A2	20030402	EP 2001-925146	20010323
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003045755	A1	20030306	US 2002-239521	20020923
PRAI	US 2000-191839P	P	20000324		
	WO 2001-US40360	W	20010323		

OS MARPAT 135:272682
GI



I

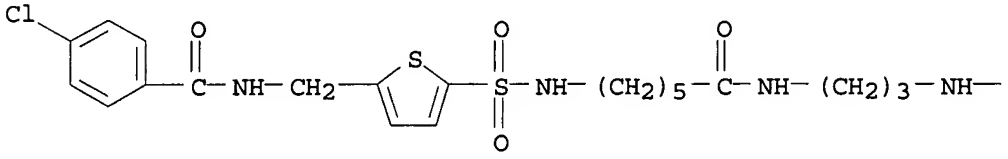
AB Novel cytotoxic polyamine analogs are disclosed. These analogs are useful pharmaceutical agents for treating diseases where it is desired to inhibit cell growth and/or proliferation, for example cancer and post-angioplasty injury. Thus, I (ORI 1313) is prepd. and inhibited A375 melanoma growth

36% in mice.

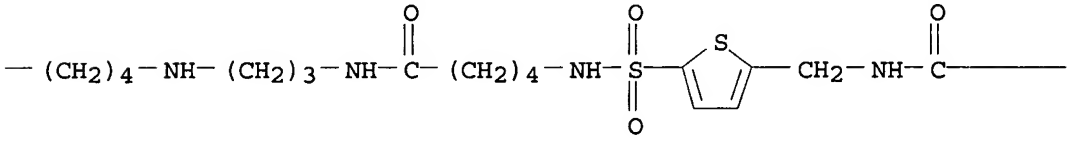
IT **330163-38-7P 330163-49-0P 330163-51-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polyamine analogs as cytotoxic agents)

RN 330163-38-7 CAPLUS
CN Benzamide, N,N'-[(6,21-dioxo-7,11,16,20-tetraaza-1,25-pentacosanediyl)bis(iminosulfonyl-5,2-thiophenediylmethylene)]bis[4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



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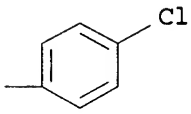
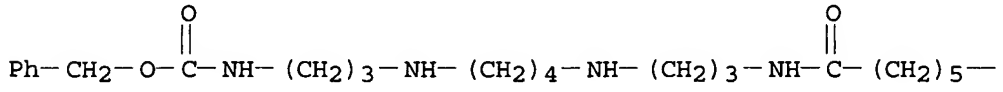
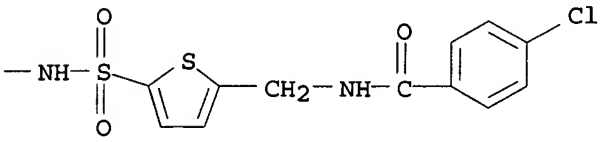


RN 330163-49-0 CAPLUS
CN 2,6,11,15-Tetraazaheneicosanoic acid, 21-[[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]amino]-16-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



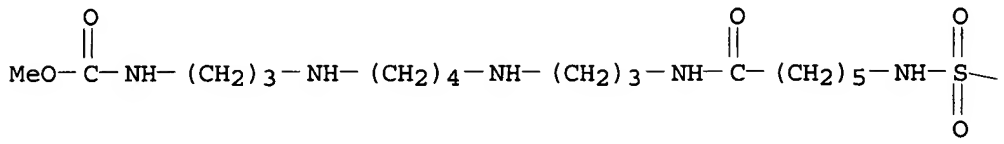
PAGE 1-B



RN 330163-51-4 CAPLUS
CN 2,6,11,15-Tetraazaheneicosanoic acid, 21-[[[5-[[(4-

chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]amino]-16-oxo-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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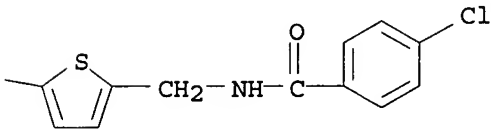

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS
AN 2001:283950 CAPLUS
DN 134:295844
TI Preparation of amino lactam sulfonamides as inhibitors of A.beta.-protein production
IN Thompson, Lorin Andrew; Han, Amy Qi
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001027108	A1	20010419	WO 2000-US27666	20001007
	W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1218377	A1	20020703	EP 2000-970627	20001007
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
	US 6503901	B1	20030107	US 2000-684718	20001007
PRAI	US 1999-158565P	P	19991008		
	WO 2000-US27666	W	20001007		

OS MARPAT 134:295844
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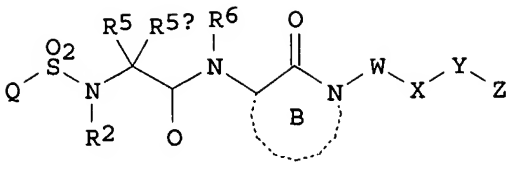

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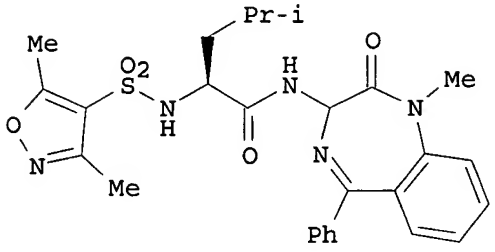


II

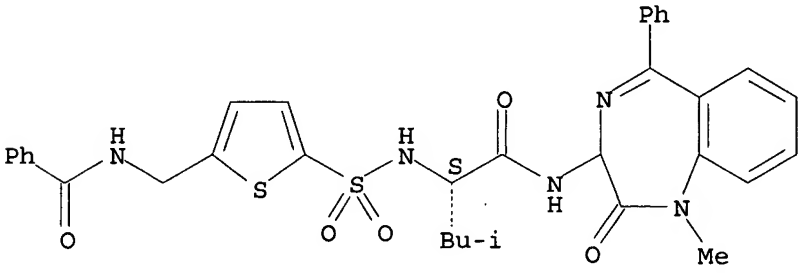
AB The title compds. [I; Q = alkyl, cycloalkyl, etc.; R2 = H, alkyl, alkoxyalkyl, etc.; R5 = H, alkyl, alkoxy, etc.; R5a = H, alkyl; R6 = H, alkyl, aryl, etc.; ring B = 6-8 membered (un)satd. (un)substituted lactam which optionally contains heteroatom; W = (CR8R8a)p; p = 0-4; R8, R8a = H, F, alkyl, etc.; X = a bond, aryl, cycloalkyl, etc.; Y = a bond, alkylene, etc.; Z = H, alkyl, alkenyl, etc.] which inhibit the processing of amyloid precursor protein and, more specifically, inhibit the prodn. of A.beta.-peptide, thereby acting to prevent the formation of neurol. deposits of amyloid protein, were prepd. E.g., a 3-step synthesis of II was given. More particularly, the present invention relates to the treatment of neurol. disorders related to .beta.-amyloid prodn. such as Alzheimer's disease and Down's Syndrome. Also, method for inhibiting .gamma.-secretase activity was claimed.

IT **334870-26-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino lactam sulfonamides as inhibitors of A.beta.-protein prodn.)

RN 334870-26-7 CAPLUS

CN Benzamide, N-[[5-[[[(1S)-1-[[(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)amino]carbonyl]-3-methylbutyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

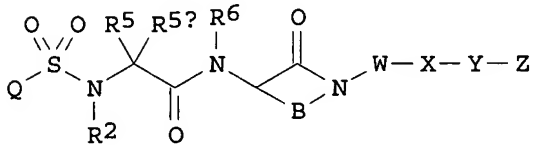
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS

AN 2001:283935 CAPLUS

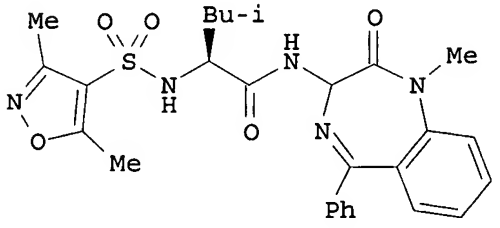
DN 134:311233
TI Amino lactam sulfonamides as inhibitors of amyloid-.beta. protein production
IN Thompson, Lorin Andrew
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001027091	A1	20010419	WO 2000-US27665	20001007
	W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1222176	A1	20020717	EP 2000-970626	20001007
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
	US 6503901	B1	20030107	US 2000-684718	20001007
PRAI	US 1999-158565P	P	19991008		
	WO 2000-US27665	W	20001007		

OS MARPAT 134:311233
GI



I



II

AB This invention relates to prepn. of novel lactams, particularly benzo[e][1,4]diazepines (I) [wherein Q = (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbocyclyl, aryl, or heterocyclyl; R2 = H or (un)substituted (alkoxy)alkyl, carbocyclyl(methyl), aryl(methyl), arylethyl, or heterocyclyl; R5 and R5a combine to form a 3-7 membered (un)substituted cycloalkyl or benzo-fused ring; R6 = H or (un)substituted alkyl, carbocyclyl, or aryl; ring B = 6-8 membered (un)substituted lactam, optionally contg. N, NH, NR10, O, S, SO, or SO2; R10 = H, acyl, carboxy (ester), carbamoyl, sulfamoyl, (un)substituted alkyl, aryl, carbocyclyl, heterocyclyl, etc.; W = (CR8R8a)p; p = 0-4; R8 and R8a = independently H, F, (cyclo)alkyl, alkenyl, or alkynyl; X = a bond or (un)substituted aryl, cycloalkyl, carbocycyl, or heterocyclyl; Y = a bond or (CR9R9a)tV(CR9R9a)u; R9 and R9a = independently H, F, or (cycloalkyl); t and u = independently 0-3; V = a bond, CO, O, S, SO, SO2, CO2, OCO or

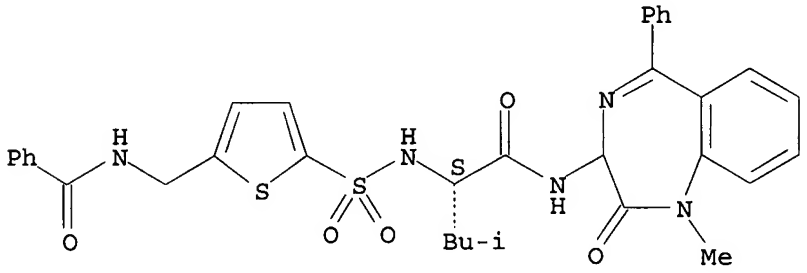
(un)substituted NH, CONH, NHCO, NHCO2, SO2NH, NHSO, or SONH; Z = H or (un)substituted alkyl, alkenyl, alkynyl, aryl, carbocyclyl, or heterocyclyl] and their pharmaceutical compns. These novel compds. inhibit the processing of amyloid precursor protein and, more specifically, inhibit the prodn. of amyloid-.beta. (A.beta.) peptide, thereby acting to prevent the formation of neurol. deposits of amyloid protein (no data). More particularly, the present invention relates to the treatment of neurol. disorders related to .beta.-amyloid prodn., such as Alzheimer's disease and Down's Syndrome (no data). For example, 3-amino-1-methyl-5-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one was coupled with N-Boc-L-leucine, deprotected using TFA, and coupled with 3,5-dimethylisoxazole-4-sulfonyl chloride to give II.

IT **334870-26-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino lactam sulfonamides as inhibitors of a.beta. protein prodn.)

RN 334870-26-7 CAPLUS

CN Benzamide, N-[[5-[[[(1S)-1-[[(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)amino]carbonyl]-3-methylbutyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS
AN 2001:246563 CAPLUS
DN 134:266198
TI Preparation of N-arylsulfonyl amino acid derivatives as c-Jun N-terminal kinase inhibitors
IN Arkinstall, Stephen
PA Applied Research Systems ARS Holding N.V., Neth. Antilles
SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1088815	A1	20010404	EP 1999-810871	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001023379	A1	20010405	WO 2000-IB1382	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1218375 A1 20020703 EP 2000-960922 20000928

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

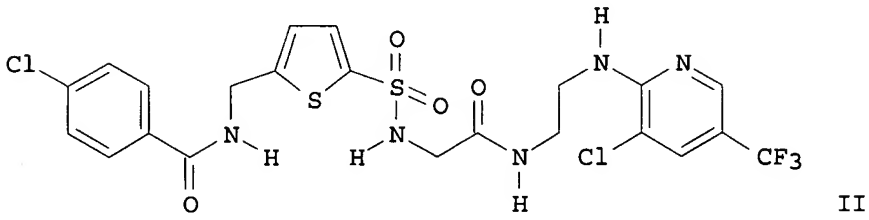
JP 2003510320 T2 20030318 JP 2001-526531 20000928

PRAI EP 1999-810871 A 19990928

WO 2000-IB1382 W 20000928

OS MARPAT 134:266198

GI



II

AB RC(:X)NR1(CH2)nZSO2NR2CR3R4CONR5R6 [I; R = (un)substituted (hetero)aryl; R1,R2,R5 = H or (un)substituted alkyl; RR1 = atoms to complete a ring; R3,R4 = H, NH2, alkyl, alkoxy, amino acid residue, etc.; R2R4 = atoms to complete a ring; R6 = H, (un)substituted alkyl, (hetero)aryl, etc.; NR5R6 = heterocyclyl; X = O or S; Z = (un)substituted (hetero)aryene; n = 0-5] were prepd. Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COCl and the chlorosulfonated product amidated by H2NCH2CO2CMe3 to give 4-ClC6H4CONHCH2ZSO2NHCH2CO2H (Z = thiophene-2,5-diyl) which was amidated by N-(3-chloro-5-trifluoromethyl-2-pyridyl)ethylenediamine to give title compd. II. Data for biol. activity of I were given.

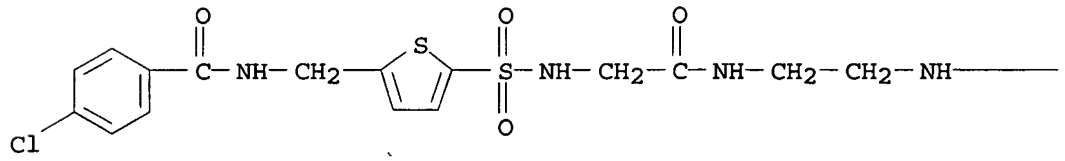
IT **332082-82-3P 332082-83-4P 332082-84-5P 332082-85-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-arylsulfonyl amino acid derivs. as c-Jun N-terminal kinase inhibitors)

RN 332082-82-3 CAPLUS

CN Benzamide, 4-chloro-N-[[5-[[[2-[[2-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]ethyl]amino]-2-oxoethyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



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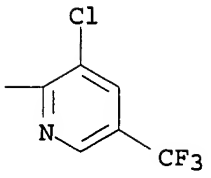
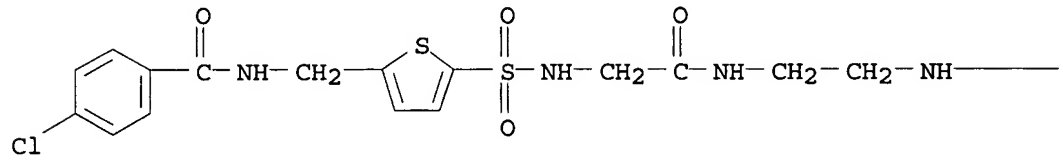


RN 332082-83-4 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-2-oxoethyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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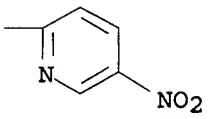
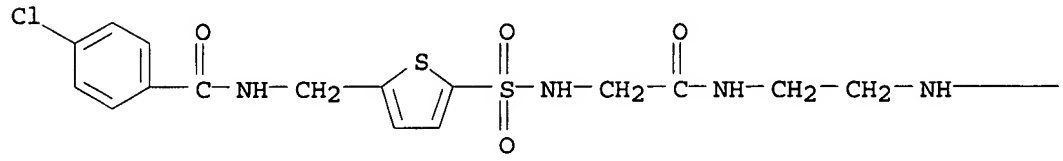


RN 332082-84-5 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[[2-oxo-2-[[2-[[3-(trifluoromethyl)-2-pyridinyl]amino]ethyl]amino]ethyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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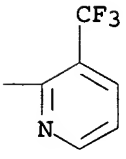
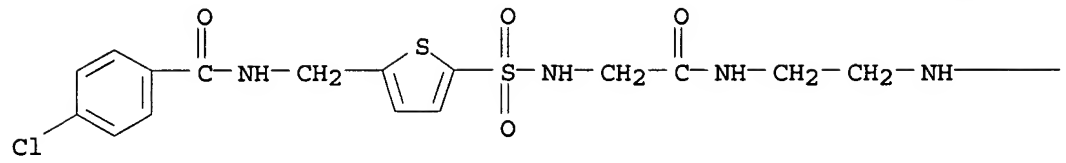


RN 332082-85-6 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[[2-oxo-2-[[2-[[5-(trifluoromethyl)-2-pyridinyl]amino]ethyl]amino]ethyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI)

(CA INDEX NAME)

PAGE 1-A



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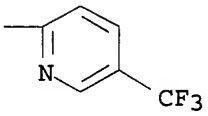


RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS
AN 2001:207925 CAPLUS
DN 134:237682
TI Novel polyamine analogues as therapeutic and diagnostic agents
IN Vermeulin, Nicholaas M. J.; O'Day, Christine L.; Webb, Heather K.; Burns, Mark R.; Bergstrom, Donald E.
PA Oridigm Corporation, USA
SO Eur. Pat. Appl., 140 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

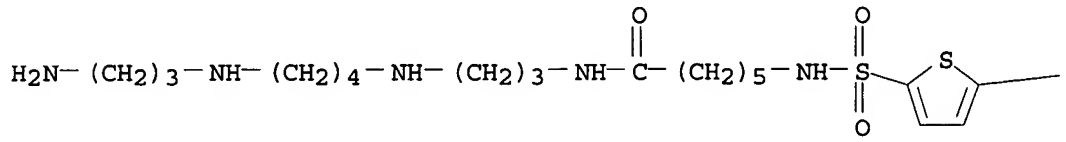
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1085011	A1	20010321	EP 2000-308049	20000915
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001172244	A2	20010626	JP 2000-282752	20000918
PRAI	US 1999-396523	A	19990915		

AB Novel inhibitors of polyamine transport having inhibition consts. two orders of magnitude lower than those of known compds. are disclosed. These polyamine analogs are useful pharmaceutical agents for treating disease where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury. Novel chem. synthetic methods to obtain polyamine analogs are disclosed, including the prodn. of a combinatorial polyamine library. These approaches yield analogs with desirable activities both for diagnostic and research assays and therapy. The assays of the invention are useful for high throughput screening of targets in the discovery of drugs that interact with the polyamine system.

IT **220221-41-0P 287968-56-3P 330162-38-4P**
330162-48-6P 330162-52-2P 330162-58-8P
330163-38-7P 330163-49-0P 330163-51-4P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polyamines as therapeutic and diagnostic agents)

RN 220221-41-0 CAPLUS
CN Benzamide, N-[[5-[[[6-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-oxohexyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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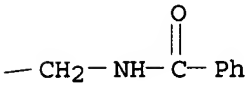
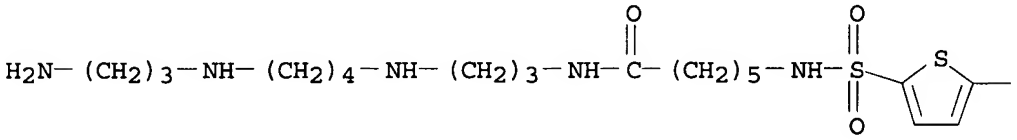


RN 287968-56-3 CAPLUS
CN Benzamide, N-[[5-[[[6-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-oxohexyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



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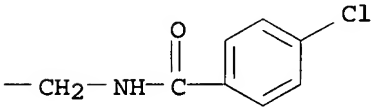
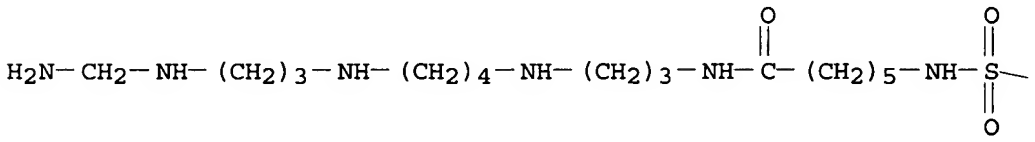


RN 330162-38-4 CAPLUS
CN Benzamide, N-[[5-[[(21-amino-6-oxo-7,11,16,20-tetraazaheneicos-1-yl)amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



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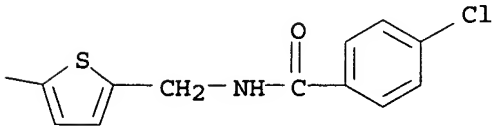

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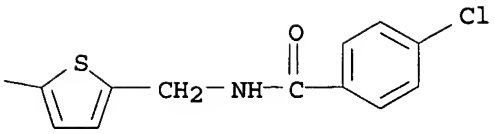
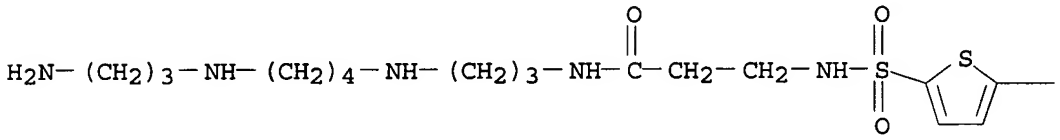


RN 330162-48-6 CAPLUS
CN Benzamide, N-[[5-[[[3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-3-oxopropyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



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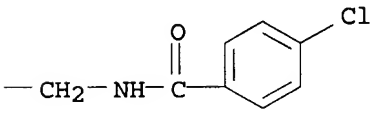
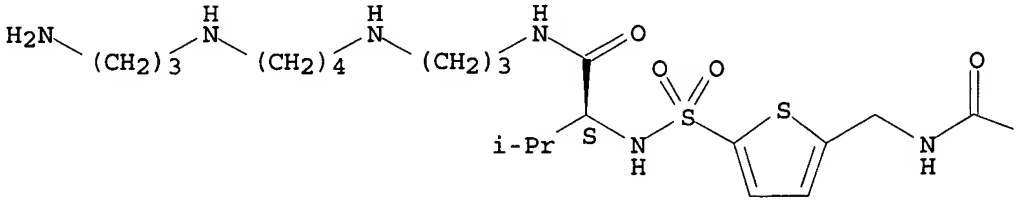


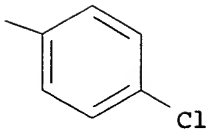
RN 330162-52-2 CAPLUS
CN Benzamide, N-[[5-[[[(1S)-1-[[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]carbonyl]-2-methylpropyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

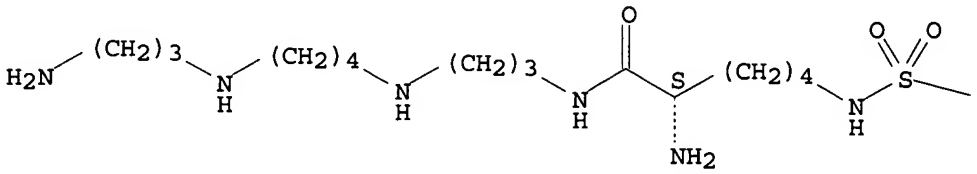


RN 330162-58-8 CAPLUS
CN Benzamide, N-[[5-[[[(5S)-5-amino-6-[[3-[[4-[(3-

aminopropyl)amino]butyl]amino]propyl]amino]-6-oxohexyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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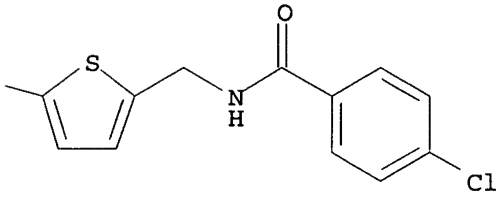
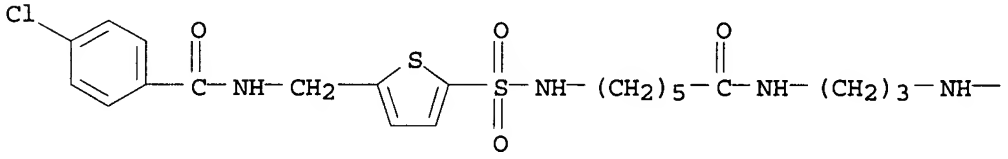


RN 330163-38-7 CAPLUS
CN Benzamide, N,N'-[(6,21-dioxo-7,11,16,20-tetraaza-1,25-pentacosanediyl)bis(iminosulfonyl-5,2-thiophenediylmethylene)]bis[4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



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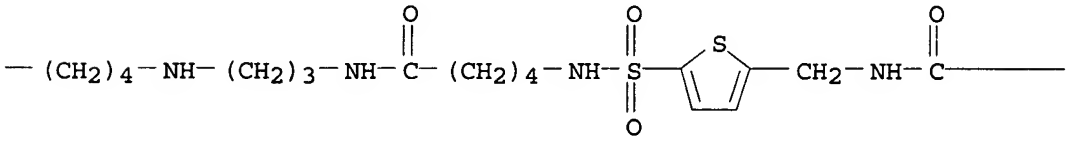

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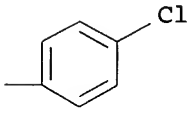
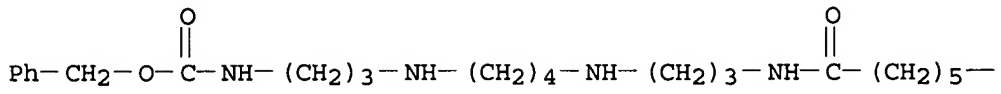


RN 330163-49-0 CAPLUS
CN 2,6,11,15-Tetraazaheneicosanoic acid, 21-[[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]amino]-16-oxo-,

phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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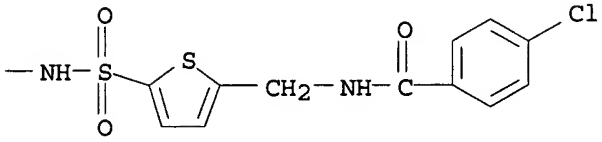
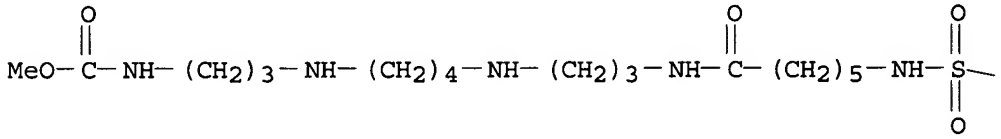


RN 330163-51-4 CAPLUS
CN 2,6,11,15-Tetraazaheneicosanoic acid, 21-[[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]amino]-16-oxo-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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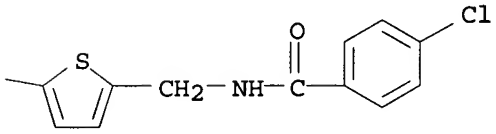
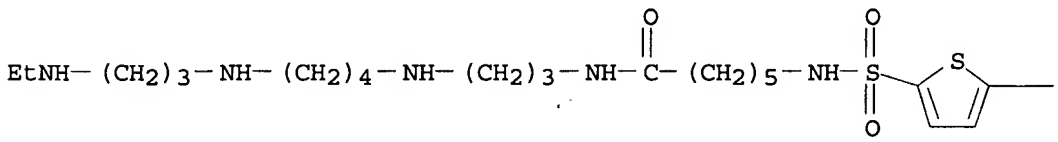
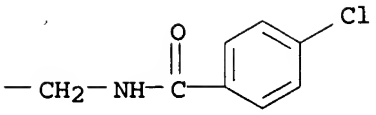


IT **220221-56-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polyamines as therapeutic and diagnostic agents)
RN 220221-56-7 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[(6-oxo-7,11,16,20-tetraazadocos-1-yl)amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS
AN 2000:553544 CAPLUS
DN 133:164201
TI Preparation of agmatine and polyamine analogs as antizyme modulators for use as drugs and agricultural agents
IN Vermeulin, Nicolaas M. J.; Burns, Mark R.; Webb, Heather K.
PA Oridigm Corporation, USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000046187	A2	20000810	WO 2000-US2972	20000204
	WO 2000046187	A3	20001214		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1159261	A2	20011205	EP 2000-913365	20000204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002536357	T2	20021029	JP 2000-597259	20000204
PRAI	US 1999-118892P	P	19990205		
	WO 2000-US2972	W	20000204		

AB A polyamine analog of spermine comprising of four amine groups capable of forming four pos. charges at physiol. pH, wherein the first and second amine groups, and the third and fourth amine groups, are sepd. by the distance of four cC-C and or C-N bonds and the second and third amine are sepd. by the distance of five C-C and/or C-N bonds or more; wherein the the second and third amines are sepd. by a straight or branched C2-10-alkyl, -alkenyl, -alkynyl, alkoxy, aliph.; C3-10-alicyclic, single or multi-ring arom. or aryl; aryl-substituted alkyl, alkenyl, alkynyl; multiring aryl-substituted aliph.; aliph.-substituted single or multi-ring arom.; alkyl-, alkenyl-, alkynyl-substituted aryl; single or multi-ring heterocyclic; single or multi-ring heterocyclic-substituted aliph.; aliph.-substituted arom.; heterocyclic-substituted alkyl, alkenyl, alkynyl; alkyl-, alkenyl-, alkynyl-substituted heterocycle and wherein said analog induces expression of full-length antizyme. The present invention is directed to agmatine and polyamine analogs and their use as drugs, as well as agricultural or environmentally useful agents. As drugs, the analogs decrease cellular polyamine levels, possibly by inducing antizyme, and can be used to treat disorders of undesired cell proliferation, including cancer, viral infections and bacterial infections. The analogs may be utilized in pharmaceutical compns. either alone or in combination with other agents, particularly other inhibitors of polyamine synthesis or transport, but including other inhibitors of cell proliferation. The analogs are not necessarily metabolized to contribute to the polyamine pool and are designed to enter cells by

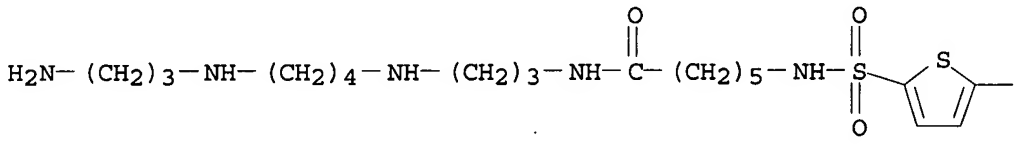
pathways independent of polyamine transport. The invention further defines structural elements/motifs within these analogs that are key to their induction of antizyme.

IT **287968-56-3P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of agmatine and polyamine analogs as antizyme modulators for use as drugs and agricultural agents)

RN 287968-56-3 CAPLUS

CN Benzamide, N-[[5-[[[6-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-oxohexyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



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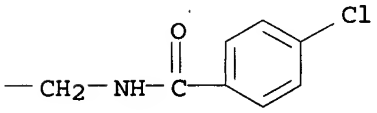

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS

AN 1999:77533 CAPLUS

DN 130:153469

TI Novel polyamine analogs as therapeutic and diagnostic agents

IN Vermeulin, Nicolaas M. J.; O'Day, Christine L.; Webb, Heather K.; Burns, Mark R.; Bergstrom, Donald E.

PA Oridigm Corporation, USA

SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9903823	A2	19990128	WO 1998-US14896	19980715
	WO 9903823	A3	19990408		
	W: AL, AM, AU, AZ, BA, BB, BG, BR, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9884968	A1	19990210	AU 1998-84968	19980715
	AU 758570	B2	20030327		
	EP 1001927	A2	20000524	EP 1998-935790	19980715
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	JP 2001510181	T2	20010731	JP 2000-503054	19980715
	US 6172261	B1	20010109	US 1999-341400	19990903

PRAI US 1997-52586P P 19970715
US 1997-65728P P 19971114
US 1998-85538P P 19980515
WO 1998-US14896 W 19980715
OS MARPAT 130:153469
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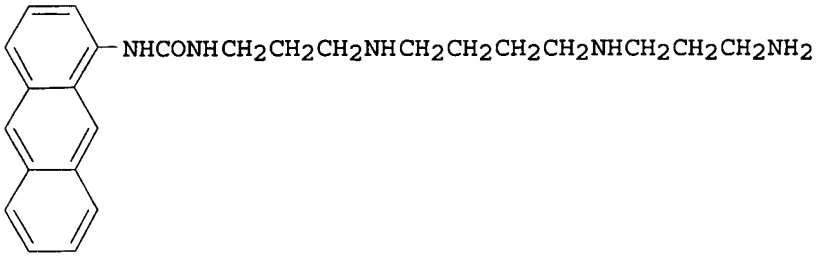


I

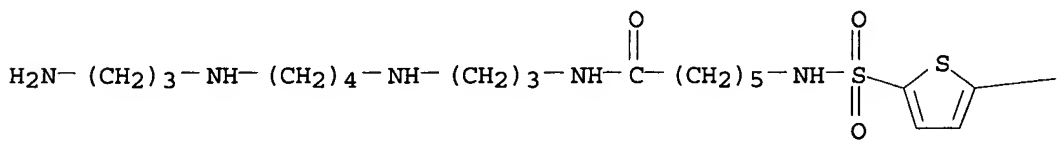
AB Title inhibitors RXR1 [R =H, or is a head group consisting of a straight or branched C1-10 aliph., alicyclic, single or multiring arom., single or multiring aryl substituted aliph., etc.; R1 is a polyamine; X = CO, NHCO, NHCS, SO2] and pharmaceutical acceptable salts of polyamine transport having inhibition consts. two orders of magnitude lower than those of known compds. are disclosed. These polyamine analogs are useful pharmaceutical agents for treating diseases where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury and the introduction of a 3-amidopropyl group to the diaminobutyl part of spermidine produce a significantly better transport inhibitor. Novel chem. synthetic methods to obtain polyamine analogs are disclosed, including the prodn. of a combinatorial polyamine library. These approaches yield analogs with desirable activities both for diagnostic and research assays and therapy. The assays of the invention are useful for high throughput screening of targets in the discovery of drugs that interact with the polyamine system. Thus, I was prepd. from 1-aminoanthracene, 4-nitrophenyl chloroformate, and spermine.

IT **220221-41-0P 220221-56-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of polyamines as therapeutic and diagnostic agents)

RN 220221-41-0 CAPLUS

CN Benzamide, N-[[5-[[[6-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-oxohexyl]amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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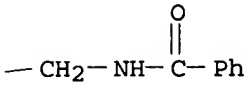
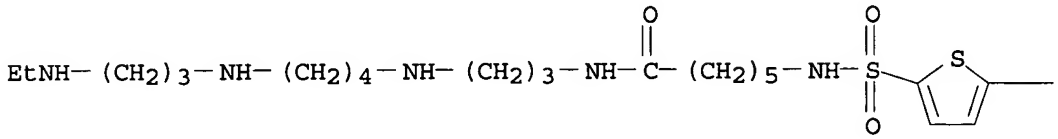


RN 220221-56-7 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[(6-oxo-7,11,16,20-tetraazadocos-1-yl)amino]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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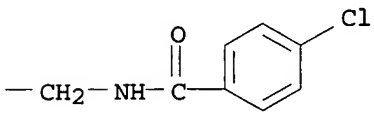

AN 2001:228868 CAPLUS
DN 134:252356
TI Preparation of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3
IN Jacobs, Robert Toms; Folmer, James; Simpson, Thomas Richard; Chaudhari, Bipinchandra; Frazee, William Jackson; Davenport, Timothy Wayne
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001021598	A1	20010329	WO 2000-GB3555	20000918
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	EP 1218358	A1	20020703	EP 2000-958907	20000918
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	JP 2003509501	T2	20030311	JP 2001-524977	20000918
	US 6399603	B1	20020604	US 2000-668322	20000922
PRAI	US 1999-155623P	P	19990923		
	WO 2000-GB3555	W	20000918		

OS MARPAT 134:252356
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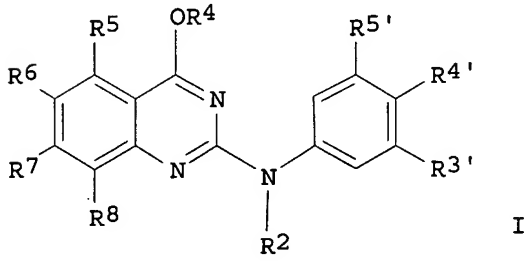


I

AB I (e.g. [2-[(3,4-dichlorophenyl)amino]-4-hydroxy-6-nitroquinazolin-8-yl]-N-[(4-fluorophenyl)methyl]carboxamide) or a pharmaceutically-acceptable salt thereof and methods of using such compds. for the treatment of various diseases and pharmaceutical compns. comprising such compds. are claimed. In I, R2 is H, acetyl or (C1-C5)alkyl. R4 is H, acetyl or (C1-C5)alkyl. R5, R6 and R7 are independently H, halogen, (C1-C2)alkyl, halo(C1-C2)alkyl, nitro and cyano. R8 is H, Ph, (C1-C6)alkyl, Ri, heterocycle, substituted heterocycle, -(CH2)mC(O)N-[(CH2)pRg]Rb, -(CH2)mN[(CH2)pRg]Rb, -CH:CHRC, halogen, -(CH2)mC(O)(CH2)mRo, -C(O)Rp, -(CH2)mC(O)O[(CH2)pRg], -(CH2)mN[(CH2)pRg]C(O)Rb, -(CH2)mOC(O)[(CH2)pRg], -CHORdORe, -CH2XRf, -S(O)2N[(CH2)pRg]Rb, -N[(CH2)pRg]S(O)2Rb, -S(O)2N[(CH2)pRg]Rb, -C(O)H, allyl and 4-hydroxybut-1-en-4-yl. R3', R4' and R5' are independently H, halogen, (C1-C4)alkyl, (C1-C4)alkoxy and

halo(C1-C4)alkyl; wherein at least one of R5, R6, R7, R8, R3' and R5' is not H; and R4' is not equal to R7. Rb is H, (C1-C4)alkyl or substituted (C1-C4)alkyl. Rc is H, Ph, Ri, heterocycle, substituted heterocycle, -CO2Rb, -C(O)NRbRb, -S(O)n-Rf, 2-hydroxyisopropyl and cyano. Rd and Re are independently (C1-C4)alkyl; or Rd and Re together are -CH2CH2- or -CH2CH2CH2-. Rf is (C1-C4)alkyl, vinyl, -CH2CO2Rb, Ph or benzyl. Rg is (C1-C10)alkyl, substituted (C1-C10)alkyl, Ph, Ri, heterocycle, substituted heterocycle, -ORb, -NRbRb, -NRjRo, -N(Rj)SO2Rj, -CO2Rb, -C(O)NRjRj, -SO2phenyl and 2-oxopyrrolid-1-yl; or Rg and Rb together form -CH2CH2N(Rj)CH2CH2-, -(CH2)4-, -CH(Rh)CH2CH2CH2-, or -CH2CH2OCH2CH2-. Rh is -CO2Rf or -CH2O-Ph. Ri is Ph, contg. 1-3 substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Rj)C(O)Rj, -NRjC(O)halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rj is H or (C1-C6)alkyl. Rk is -(CH2)nCH2OCH2Rb, -C(O)NRjRj or -C(O)Rj. Rm is heterocycle, contg. one or two substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Ri)C(O)Rj, -NRjC(O)-halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rn is -C(O)Rj, -CH2ORj or -C(O)NRjRj. Ro is Ph, substituted Ph, heterocycle or substituted heterocycle. Rp is a heterocycle contg. one or two substituents selected from substituted Ph, heterocycle, Ph, benzyl, -SOnRo or SO2NRjRj. M is 0-3; n is 0-2; p is 0-7; X is S, O or N. A method is claimed of treating a mammalian disease selected from cell apoptosis, immune deficiency syndromes, autoimmune diseases, pathogenic infections, cardiovascular and neurol. injury, alopecia, aging, cancer, Parkinson's disease, Alzheimer's disease, Huntington's disease, acute and chronic neurodegenerative disorders, stroke, vascular dementia, head trauma, ALS, neuromuscular disease, myocardial ischemia, cardiomyopathy, macular degeneration, osteoarthritis, diabetes, acute liver failure and spinal cord injury. Although caspase-3 inhibition and apoptosis assay methods are described, quant. assay results are not given. Although the methods of prepn. are not claimed, 17 example prepns. are included.

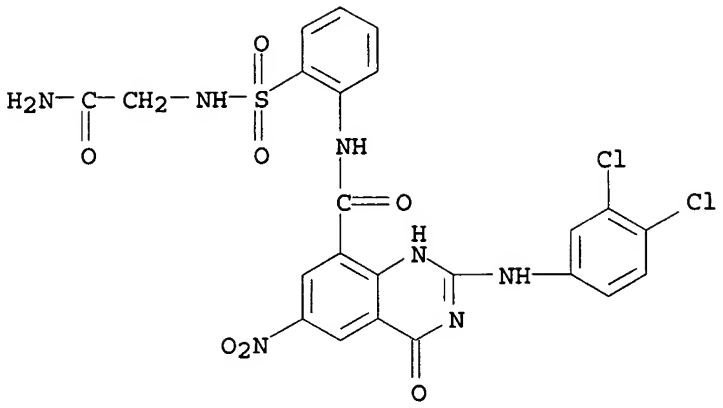
IT **331643-41-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3)

RN 331643-41-5 CAPLUS

CN 8-Quinazolinecarboxamide, N-[2-[[(2-amino-2-oxoethyl)amino]sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
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